

PATENT COOPERATION TREATY

From the INTERNATIONAL BUREAU

**PCT**

NOTIFICATION CONCERNING  
TRANSMITTAL OF COPY OF INTERNATIONAL  
PRELIMINARY REPORT ON PATENTABILITY  
(CHAPTER I OF THE PATENT COOPERATION  
TREATY)  
(PCT Rule 44bis.1(c))

Date of mailing (day/month/year)  
09 February 2006 (09.02.2006)

Applicant's or agent's file reference  
M/45174-PCT

International application No.  
PCT/EP2004/008208

International filing date (day/month/year)  
22 July 2004 (22.07.2004)

Priority date (day/month/year)  
24 July 2003 (24.07.2003)

Applicant  
FERRER INTERNACIONAL, S.A. et al

To:

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Eing. 16. Feb. 2006 *mt*

Sternwartstr. 4 D-81679 München

**IMPORTANT NOTICE**

The International Bureau transmits herewith a copy of the international preliminary report on patentability (Chapter I of the Patent Cooperation Treaty)

The International Bureau of WIPO  
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1211 Geneva 20, Switzerland

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Ellen Moyse

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# PATENT COOPERATION TREATY

## PCT

### INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY (Chapter I of the Patent Cooperation Treaty)

(PCT Rule 44bis)

Applicant's or agent's file reference M/45174-PCT	<b>FOR FURTHER ACTION</b>		See item 4 below
International application No. PCT/EP2004/008208	International filing date ( <i>day/month/year</i> ) 22 July 2004 (22.07.2004)	Priority date ( <i>day/month/year</i> ) 24 July 2003 (24.07.2003)	
International Patent Classification (8th edition unless older edition indicated) See relevant information in Form PCT/ISA/237			
Applicant <b>FERRER INTERNACIONAL, S.A.</b>			

1. This international preliminary report on patentability (Chapter I) is issued by the International Bureau on behalf of the International Searching Authority under Rule 44 bis.1(a).
2. This REPORT consists of a total of 8 sheets, including this cover sheet.

In the attached sheets, any reference to the written opinion of the International Searching Authority should be read as a reference to the international preliminary report on patentability (Chapter I) instead.

3. This report contains indications relating to the following items:

- |                                     |              |   |
|-------------------------------------|--------------|---|
| <input checked="" type="checkbox"/> | Box No. I    | Basis of the report   |
| <input checked="" type="checkbox"/> | Box No. II   | Priority  |
| <input checked="" type="checkbox"/> | Box No. III  | Non-establishment of opinion with regard to novelty, inventive step and industrial applicability  |
| <input type="checkbox"/>            | Box No. IV   | Lack of unity of invention  |
| <input checked="" type="checkbox"/> | Box No. V    | Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement |
| <input type="checkbox"/>            | Box No. VI   | Certain documents cited   |
| <input type="checkbox"/>            | Box No. VII  | Certain defects in the international application  |
| <input type="checkbox"/>            | Box No. VIII | Certain observations on the international application   |

4. The International Bureau will communicate this report to designated Offices in accordance with Rules 44bis.3(c) and 93bis.1 but not, except where the applicant makes an express request under Article 23(2), before the expiration of 30 months from the priority date (Rule 44bis .2).

	Date of issuance of this report 30 January 2006 (30.01.2006)
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The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland  Facsimile No. +41 22 740 14 35	Authorized officer  <b>Ellen Moyse</b> Telephone No. +41 22 338 89 75
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# PATENT COOPERATION TREATY

From the  
INTERNATIONAL SEARCHING AUTHORITY

REC'D 02 DEC 2004

PCT  
WPO

PCT

To:

see form PCT/ISA/220

## WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY (PCT Rule 43bis.1)

Date of mailing  
(day/month/year) see form PCT/ISA/210 (second sheet)

Applicant's or agent's file reference  
see form PCT/ISA/220

### FOR FURTHER ACTION

See paragraph 2 below

International application No. PCT/EP2004/008208	International filing date (day/month/year) 22.07.2004	Priority date (day/month/year) 24.07.2003
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International Patent Classification (IPC) or both national classification and IPC  
C07D487/04, A61K31/505, A61P25/00

Applicant  
**FERRER INTERNATIONAL S.A.**

#### 1. This opinion contains indications relating to the following items:

- Box No. I Basis of the opinion
- Box No. II Priority
- Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- Box No. IV Lack of unity of invention
- Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- Box No. VI Certain documents cited
- Box No. VII Certain defects in the international application
- Box No. VIII Certain observations on the international application

#### 2. FURTHER ACTION

If a demand for international preliminary examination is made, this opinion will usually be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA"). However, this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of three months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

#### 3. For further details, see notes to Form PCT/ISA/220.

Name and mailing address of the ISA:



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Authorized Officer

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**Box No. I Basis of the opinion**

1. With regard to the **language**, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.
  - This opinion has been established on the basis of a translation from the original language into the following language , which is the language of a translation furnished for the purposes of international search (under Rules 12.3 and 23.1(b)).
2. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:
  - a. type of material:
    - a sequence listing
    - table(s) related to the sequence listing
  - b. format of material:
    - in written format
    - in computer readable form
  - c. time of filing/furnishing:
    - contained in the international application as filed.
    - filed together with the international application in computer readable form.
    - furnished subsequently to this Authority for the purposes of search.
3.  In addition, in the case that more than one version or copy of a sequence listing and/or table relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
4. Additional comments:

**WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING AUTHORITY**

International application No.  
PCT/EP2004/008208

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**Box No. II Priority**

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1.  The following document has not been furnished:

- copy of the earlier application whose priority has been claimed (Rule 43bis.1 and 66.7(a)).  
 translation of the earlier application whose priority has been claimed (Rule 43bis.1 and 66.7(b)).

Consequently it has not been possible to consider the validity of the priority claim. This opinion has nevertheless been established on the assumption that the relevant date is the claimed priority date.

2.  This opinion has been established as if no priority had been claimed due to the fact that the priority claim has been found invalid (Rules 43bis.1 and 64.1). Thus for the purposes of this opinion, the international filing date indicated above is considered to be the relevant date.
3. Additional observations, if necessary:

**Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of:

- the entire international application,  
 claims Nos. 35-45 in respect of industrial applicability

because:

- the said international application, or the said claims Nos. 35-45 relate to the following subject matter which does not require an international preliminary examination (*specify*):

**see separate sheet**

- the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):  
 the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.  
 no international search report has been established for the whole application or for said claims Nos.  
 the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:

- |                            |   |
|----------------------------|---|
| the written form           | <input type="checkbox"/> has not been furnished<br><input type="checkbox"/> does not comply with the standard |
| the computer readable form | <input type="checkbox"/> has not been furnished<br><input type="checkbox"/> does not comply with the standard |
- the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-bis of the Administrative Instructions.
- See separate sheet for further details

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**Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or  
industrial applicability; citations and explanations supporting such statement**

**1. Statement**

Novelty (N)	Yes:	Claims	1-51
	No:	Claims	
Inventive step (IS)	Yes:	Claims	1-51
	No:	Claims	
Industrial applicability (IA)	Yes:	Claims	1-34,46-51
	No:	Claims	

**2. Citations and explanations**

**see separate sheet**

**Re Item III**

For the assessment of the present claims 35-45 on the question whether they are industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.

Claims 35-45 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(I) PCT).

**Re Item V**

The following documents are cited in the International Search Report:

- D1: GEORGE C F P: "Pyrazolopyrimidines" LANCET, XX, XX, vol. 358, no. 9293, 10 November 2001 (2001-11-10), pages 1623-1626, XP004322796  
ISSN: 0140-6736
- D2: US-A-4 654 347 (ALBRIGHT JAY D ET AL) 31 March 1987 (1987-03-31).

In the light of D1 and D2 the subject matter claimed appears to be novel and to involve an inventive step according to Articles 33(2) and 33(3) PCT.

D1 and D2 disclose pyrazolopyrimidines which exhibit an amide group instead of the sulfonamide group which is always present on the phenyl portion in the compounds as claimed in the present application.

The proviso in the claims appears to be present to exclude intermediates disclosed in the prior art (e.g. D1 example 80) which appear to be only synthetic intermediates without report on pharmacological effects.

The technical problem underlying the present application was the provision of

**WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING  
AUTHORITY (SEPARATE SHEET)**

International application No.

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further compounds useful as ligands of alpha<sub>1</sub>- and alpha<sub>2</sub>-GABA<sub>A</sub>-receptors.

The result of comparative tests provided in the description on pages 25-32 demonstrate a superior effect of the claimed compounds when compared to the compound Zaleplon disclosed in D1 (especially example 2 according to the present application which appears to be the closest structurally possible compound and differs from Zaleplon only in the sulfonamide group portion). On the basis of this unexpected effect the solution to the technical problem- the provision of the compounds as claimed - appears to be non-obvious in the light of the prior art teaching.